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DICTIONARY FILE UPDATES:   8 JAN 2008  HIGHEST RN 960198-43-0

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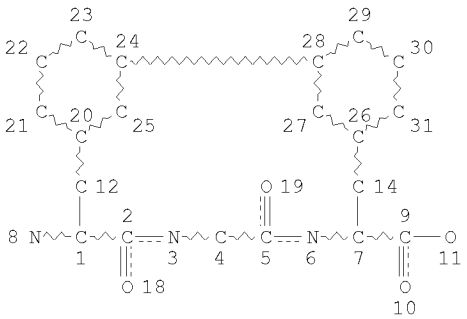

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NODE ATTRIBUTES:
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GRAPH ATTRIBUTES:
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STEREO ATTRIBUTES: NONE
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100.0% PROCESSED   61293 ITERATIONS                              75 ANSWERS
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FILE COVERS 1907 - 9 Jan 2008 VOL 148 ISS 2
FILE LAST UPDATED: 8 Jan 2008 (20080108/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d bib abs hitstr l11 tot

L11 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:292797 HCAPLUS
DN 144:350390
TI Preparation of Biphenomycin-C analogs as antibacterial agents
IN Endermann, Rainer; Ehlert, Kerstin; Freiberg, Christoph; Raddatz, Siegfried; Michels, Martin; Cancho-Grande, Yolanda; Schuhmacher, Joachim; Weigand, Stefan
PA Bayer Healthcare A.-G., Germany
SO Ger. Offen., 197 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE102005014240	A1	20060330	DE 2005-102005014240	20050330
CA---2581527	A1	20060406	2005CA-2581527	20050915
WO2006034786	A1	20060406	2005WO-EP09912	20050915
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CN-101090909	A	20071219	CN 2005-80040096	20050915
IN2007KN01410	A	20070720	2007IN-KN01410	20070420

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DE 2005-102005014240 A 20050330
2005WO-EP09912 W 20050915
OS MARPAT 144:350390
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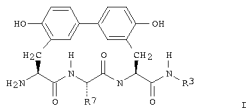

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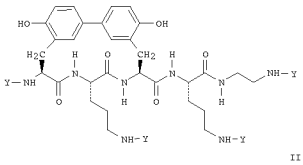
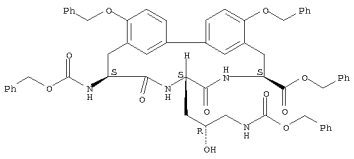


II

L11 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB Title compds. I [R2 = H, CH3, CH2CH3; R3 = alkylamine with provisos; R7 = methylamine, ethylamine, n-butylamine, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA mediated deprotection of Boc-amino macrocycle II [Y = Boc] afforded the TFA salt of biphenomycin analog II [Y = H] in 64% yield. In Staphylococcus aureus inhibition assays, 7-examples of compds. I exhibited IC50 vales ranging from 0.06-1.5 μM.
IT 134038-87-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Biphenomycin-C analogs as antibacterial agents)
RN 134038-87-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1154572 HCAPLUS
DN 143:440761
TI Synthesis of antibacterial biphenyl-containing macrocycles for use in treating bacterial infections in humans or animals
IN Endermann, Rainer; Ehlert, Kerstin; Raddatz, Siegfried; Cancho-Grande, Yolanda; Michels, Martin; Schuhmacher, Joachim; Weigand, Stefan
PA Bayer Healthcare AG, Germany
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2005100380	A1	20051027	2005WO-EP03463	20050402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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PRAI DE 2004-102004018405 A 20040416
OS CASREACT 143:440761; MARPAT 143:440761
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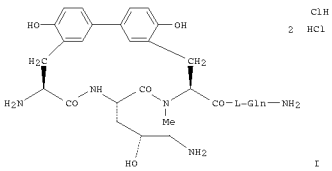
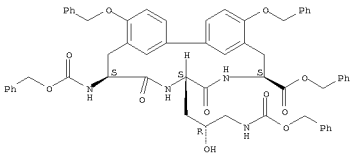


I

AB Macrocyclic biphenyl-containing oligopeptide amides, e.g. (I), were prepared for use in the prevention or treatment of bacterial infections. Thus, the N-Boc-protected 2-hydroxypropylated biphenyl core free acid (prepared in WO03/106480) was reacted with L-glutamamide hydrochloride, N-deprotected, and converted to the HCl salt to form I in 96% yield. In in vitro inhibition studies using S. aureus 133 translation, I had IC50 of 0.8 μM.
IT 134038-87-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antibacterial biphenyl-containing macrocycles for use in treating or preventing infections)
RN 134038-87-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:324179 HCAPLUS
DN 142:411656
TI Synthesis of antibacterial biphenyl-containing macrocycles for use in treating bacterial infections in humans or animals
IN Lampe, Thomas; Adelt, Isabelle; Beyer, Dieter; Brunner, Nina; Endermann, Rainer; Ehlert, Kerstin; Kroll, Hein-Peter; Von Nussbaum, Franz; Raddatz, Siegfried; Rudolph, Joachim; Schiffer, Guido; Schumacher, Andreas; Cancho-Grande, Yolanda; Michels, Martin; Weigand, Stefan
PA Bayer Healthcare A.-G., Germany
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2005033129	A1	20050414	2004WO-EP10605	20040922
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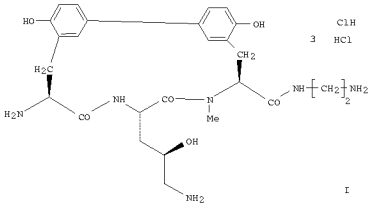
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE--10358822	A1	20050421	2003DE-1058822	20031216
CA---2540646	A1	20050414	2004CA-2540646	20040922
US2005256037	A1	20051117	2004US-0957489	20041001
PRAI 2003DE-1045724	A	20031001		
2003DE-1058822	A	20031216		
2004WO-EP10605	W	20040922		

OS MARPAT 142:411656
GI

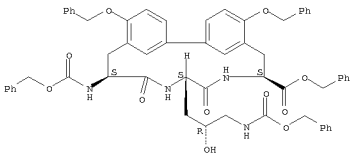


I

AB Macrocyclic biphenyl-containing oligopeptide amides, e.g., (I), analogs of biphenomycin B, were prepared for use in the treatment and prevention of bacterial infections in humans and animals. The biphenyl-linked dipeptide was first prepared, beginning from salicaldehyde, in 12 steps, followed by peptide coupling with an appropriate amino acid and macrocyclization. The resulting intermediate was coupled with a suitable amine-containing reactant to give title compds. as free bases or salts. In in vitro tests against S. aureus strains, including S. aureus 133, I was effective at min. blood concns. of 4 μg/mL. I had IC50 values in transcription-translation tests against S. aureus 133 translation of 0.1 μM.
IT 134038-87-2P

L11 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of antibacterial biphenyl-contg. macrocyclic oligopeptides for use in treatment of bacterial infections)
RN 134038-87-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:117114 HCAPLUS
DN 140:164239
TI Antibacterial ester macro cycles
IN Lampe, Thomas; Adelt, Isabelle; Beyer, Dieter; Brunner, Nina; Endermann, Rainer; Ehlert, Kerstin; Kroll, Hein-Peter; Von Nussbaum, Franz; Raddatz, Siegfried; Rudolph, Joachim; Schiffer, Guido; Schumacher, Andreas
PA Bayer AG, Germany
SO Ger. Offen., 41 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE--10234422	A1	20040212	2002DE-1034422	20020729
CA---2495479	A1	20040212	2003CA-2495479	20030718
WO2004012816	A1	20040212	2003WO-EP07824	20030718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP---1526896	A1	20050504	2003EP-0766214	20030718
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JP2006502128	T	20060119	2004JP-0525241	20030718
US2006258571	A1	20061116	2005US-0522667	20050128
PRAI 2002DE-1034422	A	20020729		
2003WO-EP07824	W	20030718		

OS MARPAT 140:164239
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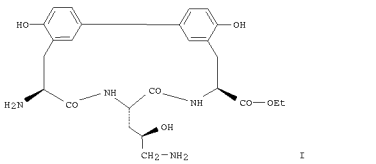
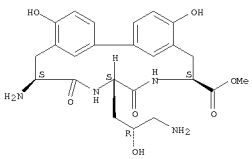


I

AB The present invention concerns compds., e.g. (I), procedures for their production, and pharmaceutical compns. containing them for use in the treatment of bacterial infections of humans or animals. The biphenyl system was constructed beginning with salicylaldehyde, which was 5-iodinated, O-benzylated, and reduced to the hydroxymethyl derivative, which was then brominated, replacing the hydroxy group. This intermediate was reacted with di-Et 2-tert-butoxycarbonylaminomalonate, followed by a mono-decarboxylation, to give (D/L)-N-Boc-2'-benzyloxy-5'-iodo-phenylalanine (II), which was then resolved to give pure (S)-II (ee >99%); this was C-protected as the benzyl ester, and part was reacted with bis(pinacolato)diborane to give intermediate (III). A second portion of II was transformed to the N-Cbz-protected form, and the acid esterified with 2-trimethylsilyl-ethanol to give intermediate (IV). Intermediates III and IV were reacted to give the chiral N,C-protected biphenyl portion of I (V). In a sep. sequence, t-Bu 5-Cbz-2(S)-Boc-amino-4(R)-hydroxypentanoate was used to prepare 4(R)-tBDMS-protected

L11 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Boc-L-4-hydroxy-Ne-Cbz-ornithine, which was reacted with the Boc-deprotected V. Macrocyclization of the resulting intermediate consisted of activation of the second acid group as the pentafluorophenyl ester, and concurrent Boc-deprotection and cyclization to give the N',N",O,O'-protected I as its benzyl ester, which was deprotected/deesterified and re-esterified to give the Me or Et ester title compds. In in vitro tests against S. aureus 133 and B. catarrhalis M3, I was active at minimal blood concns. of 0.78 and 6.25 μM resp.; I had IC50 values in transcription-translation tests against E. coli and S. aureus 133 of 0.2 and 2.4-4.3 μM, resp.
IT 656798-78-6P 656798-79-7P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antibacterial biphenomycin B ester analogs for use in human or veterinary medicine)
RN 656798-78-6 HCAPLUS
CN L-Alanine, L-alanyl-(4R)-4-hydroxy-L-ornithyl-, methyl ester, cyclic 13,33-(4,4'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., dihydrochloride (9CI) (CA INDEX NAME)

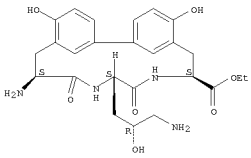
Absolute stereochemistry.



●2 HCl

RN 656798-79-7 HCAPLUS
CN L-Alanine, L-alanyl-(4R)-4-hydroxy-L-ornithyl-, ethyl ester, cyclic 13,33-(4,4'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

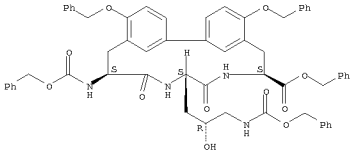


●2 HCl

IT 134038-87-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibacterial biphenomycin B ester analogs for use in human or veterinary medicine)
RN 134038-87-2 HCAPLUS

L11 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:1007003 HCAPLUS
DN 140:59934
TI Synthesis of cyclic peptide macrolides for use in the treatment and prevention of bacterial infection
IN Lampe, Thomas; Adelt, Isabelle; Beyer, Dieter; Brunner, Nina; Endermann, Rainer; Ehlert, Kerstin; Kroll, Hein-Peter; Von Nussbaum, Franz; Raddatz, Siegfried; Rudolph, Joachim; Schiffer, Guido; Schumacher, Andreas; Cancho-Grande, Yolanda; Michels, Martin; Weigand, Stefan
PA Bayer Healthcare A.-G., Germany
SO PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2003106480	A1	20031224	2003WO-EP06078	20030610
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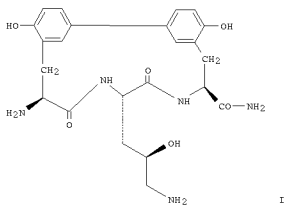
AU2003245928	A1	20031231	2003AU-0245928	20030610
CA---2489454	A1	20041214	2003CA-2489454	20030610
EP---1515983	A1	20050323	2003EP-0738012	20030610
EP---1515983	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR2003011948	A	20050329	2003BR-0011948	20030610
CN---1675236	A	20050928	2003CN-0819214	20030610
JP2006511446	T	20060406	2004JP-0513311	20030610
NZ----537212	A	20060630	2003NZ-0537212	20030610
AT----354585	T	20070315	2003AT-0738012	20030610
ES---2282643	T3	20071016	2003ES-3738012	20030610
MX2004PA12438	A	20050419	2004MX-PA12438	20041209
ZA2004010006	A	20060222	2004ZA-0010006	20041210
NO2005000210	A	20050301	2005NO-0000210	20050113
US2007129288	A1	20070607	2005US-0518600	20051223
PRAI 2002DE-1026921	A	20020617		
2003WO-EP06078	W	20030610		

OS MARPAT 140:59934
GI

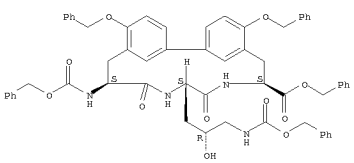


I

L11 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

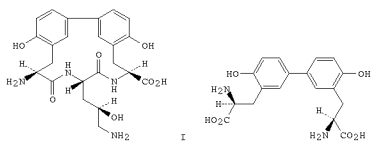
AB The invention relates to antibacterial amide macrocycles, e.g. (I), to methods for the production thereof, and to the use of the same for producing pharmaceuticals for the treatment and/or prophylaxis of illness, especially bacterial infections. Title compds. were synthesized beginning with salicylaldehyde, which was 5-iodinated, O-protected, reduced to the hydroxymethyl, brominated on the CH2 group, and coupled with di-Et 2-tert-butoxycarbonylaminomalonate, which, after decarboxylation and deesterification, gave the (DL)-N-Boc-protected 2'-benzyloxy-5'-iodo-phenylalanine (II). II was resolved into its pure D- and L-enantiomers; the L-II was protected as the N-Cbz derivative, then esterified with 2-(trimethylsilyl)ethanol, then reacted with (III) (prepared from II and 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi-1,3,2-dioxaborolane) to give biphenyl compound (IV). In a sep. reaction, (V) was prepared from the corresponding L-ornithine tert-Bu ester, the lactone opened and the alc. protected as the tert-butyldimethylsilyl derivative, and reacted with biphenyl IV, to give, after deprotection and amide formation, I as the dihydrochloride salt. In in vitro tests, using S. aureus, E. faecalis, B. catarrhalis, and E. coli strains, I had min. blood concentration effective ranges of 0.2-6.25 μM.
IT 134038-87-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenyl-containing cyclic peptide macrolides for use in the treatment and prevention of bacterial infection)
RN 134038-87-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

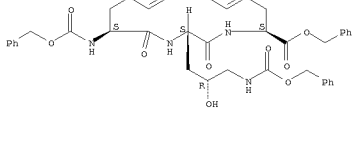
L11 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:60099 HCAPLUS
DN 118:60099
TI Amino acids and peptides. 84. Synthesis of biologically active cyclopeptides. 24. Total synthesis of the biphenomycins. III. Synthesis of biphenomycin B
AU Schmidt, Ulrich; Meyer, Regina; Leitenberger, Volker; Griesser, Helmut; Lieberknecht, Albrecht
CS Inst. Org. Chem. Isotopenforsch., Univ. Stuttgart, Stuttgart, D-7000/80, Germany
SO Synthesis (1992), (10), 1025-30
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA English
OS CASREACT 118:60099
GI



I II

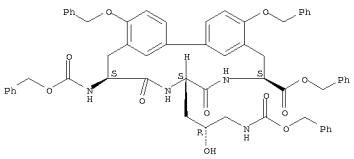
AB The total synthesis of the cyclopeptide biphenomycin B (I), a compound exhibiting a potent antibacterial activity against Gram-pos. bacteria, is described. The non-proteinogenic amino acid (S,S)-diisotyrosine (II) was prepared by enantioselective hydrogenation of the corresponding didehydroamino acids. The 15-membered ansa ring was obtained in 85% yield within 5 min by ring closure of the appropriate linear pentafluorophenyl ester in the two phase system CHCl3-aqueous NaHCO3 without dilution
IT 134038-87-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of, with trimethylsilyl triflate and trifluoroacetic acid)
RN 134038-87-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

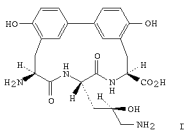


L11 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:559729 HCAPLUS
DN 115:159729
TI The synthesis of biphenomycin B [Erratum to document cited in CA114(25):247764b]
AU Schmidt, Ulrich; Meyer, Regina; Leitenberger, Volker; Lieberknecht, Albrecht; Griesser, Helmut
CS Inst. Org. Chem. Isotopenforsch., Univ. Stuttgart, Stuttgart, 7000/80, Germany
SO Journal of the Chemical Society, Chemical Communications (1991), (10), 744
CODEN: JCCCAT; ISSN: 0022-4936
DT Journal
LA English
AB An error in the summary has been corrected Biphenomycin B is a highly potent antibiotic against Gram-pos. bacteria, not Gram-neg. as reported. The error was reflected in the abstract
IT 134038-87-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of, with trimethylsilyl triflate (Erratum))
RN 134038-87-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



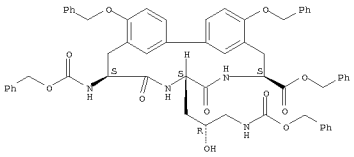
L11 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:247764 HCAPLUS
DN 114:247764
TI The synthesis of biphenomycin B
AU Schmidt, Ulrich; Meyer, Regina; Leitenberger, Volker; Lieberknecht, Albrecht; Griesser, Helmut
CS Inst. Org. Chem. Isotopenforsch., Univ. Stuttgart, Stuttgart, 7000/80, Germany
SO Journal of the Chemical Society, Chemical Communications (1991), (5), 275-7
CODEN: JCCCAT; ISSN: 0022-4936
DT Journal
LA English
OS CASREACT 114:247764
GI



I

AB Biphenomycin B (I), a highly potent antibiotic against Gram-neg., β-lactam-resistant bacteria, which was previously isolated from culture filtrates of Streptomyces griseorubiginosus, has now been synthesized.
IT 134038-87-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of, with trimethylsilyl triflate)
RN 134038-87-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr l13 tot

L13 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1038686 HCAPLUS
DN 145:397799
TI Antibacterial amide-macrocycles V: synthesis of antibacterial biphenyl-containing macrocycles for use in treating bacterial infections in humans or animals
IN Endermann, Rainer; Ehlert, Kerstin; Raddatz, Siegfried; Michels, Martin; Cancho-Grande, Yolanda; Weigand, Stefan; Fischer, Karin
PA Aicuris G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 241pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2006103015	A1	20061005	2006WO-EP02617	20060322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE102005014245	A1	20061005	DE 2005-102005014245	20050330
AU2006228751	A1	20061005	2006AU-0228751	20060322
CA---2602755	A1	20061005	2006CA-2602755	20060322
EP---1869068	A1	20071226	2006EP-0723614	20060322
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
KR20071161691	A	20071206	2007KR-0725008	20071029
PRAI DE 2005-102005014245	A	20050330		
2006WO-EP02617	W	20060322		

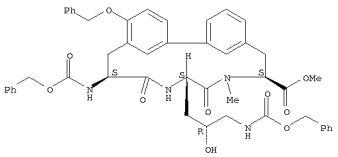
OS MARPAT 145:397799
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to antibacterial amide-macrocycles [e.g., (I)], their preparation and use for the treatment and/or prophylaxis of diseases, in particular bacterial infections. Thus I was prepared from (II) (preparation given) and H-Orn(Boc)NH(CH2)2NH-Boc [Boc = (H3C)3C-O-C(O)-], and deprotected to give the desired product as its tetra-hydrochloride salt. In in vitro tests against two strains of S. aureus and one of E. faecium, I had Minimal Blood Concentration inhibitory values of from 0.5 to 4.0 μg/mL; its IC50 against S. aureus 133 translation, also in vitro, was 0.07μM. In the same tests, control compound Biphenomycin B had values of <0.3 to >32 μg/mL, and IC50 of 1.5 μM.
IT 856223-36-4P 911218-53-6P 911218-54-7P
911218-55-8P 911218-56-9P 911218-58-1P
911218-60-5P 911218-62-7P 911218-64-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibacterial biphenyl-containing macrocycles for use in treating bacterial infections in humans or animals)
RN 856223-36-4 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4'-methyl-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

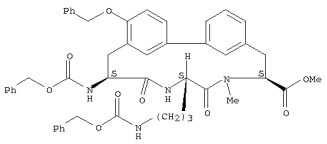
Absolute stereochemistry.

L13 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 911218-56-9 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-[4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

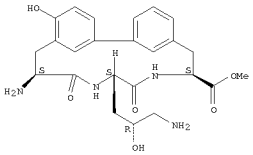


RN 911218-58-1 HCAPLUS
CN L-Alanine, L-alanyl-(4R)-4-hydroxy-L-ornithyl-, methyl ester, cyclic 13,33-(4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., diacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 911218-57-0
CMF C24 H30 N4 O6

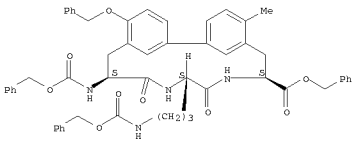
Absolute stereochemistry.



CM 2

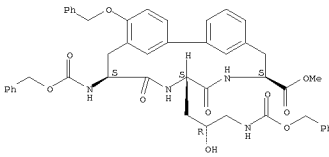
CRN 64-19-7
CMF C2 H4 O2

L13 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



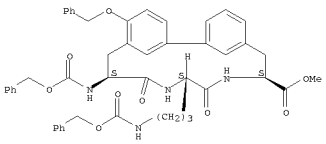
RN 911218-53-6 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 911218-54-7 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 911218-55-8 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-[4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

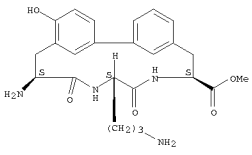
L13 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 911218-60-5 HCAPLUS
CN L-Alanine, L-alanyl-L-ornithyl-, methyl ester, cyclic 13,33-(4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., diacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 911218-59-2
CMF C24 H30 N4 O5

Absolute stereochemistry.



CM 2

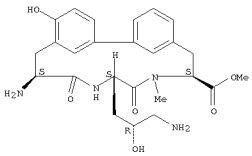
CRN 64-19-7
CMF C2 H4 O2

RN 911218-62-7 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-(4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., diacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 911218-61-6
CMF C25 H32 N4 O6

Absolute stereochemistry.



CM 2

L13 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

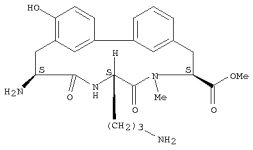
CRN 64-19-7
CMF C2 H4 O2

RN 911218-64-9 HCAPLUS
CN L-Alanine, L-alanyl-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-(4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., diacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 911218-63-8
CMF C25 H32 N4 O5

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:292797 HCAPLUS
DN 144:350390
TI Preparation of Biphenomycin-C analogs as antibacterial agents
IN Endermann, Rainer; Ehlert, Kerstin; Freiberg, Christoph; Raddatz, Siegfried; Michels, Martin; Cancho-Grande, Yolanda; Schuhmacher, Joachim; Weigand, Stefan
PA Bayer Healthcare A.-G., Germany
SO Ger. Offen., 197 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE102005014240	A1	20060330	DE 2005-102005014240	20050330
CA---2581527	A1	20060406	2005CA-2581527	20050915
WO2006034786	A1	20060406	2005WO-EP09912	20050915
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP---1797110	A1	20070620	2005EP-0782906	20050915
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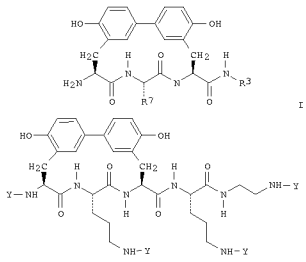
CN-101090909	A	20071219	CN 2005-80040096	20050915
IN2007KN01410	A	20070720	2007IN-KN01410	20070420
PRAI DE 2004-102004046307 IA		20040924		
DE 2005-102005014240 A		20050330		
2005WO-EP09912	W	20050915		

OS MARPAT 144:350390
GI



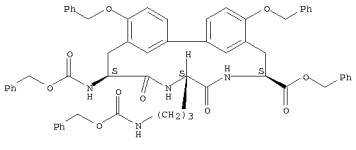
I

II

L13 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

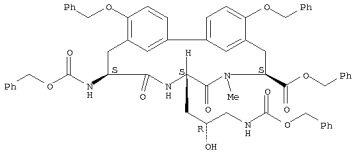
AB Title compds. I [R2 = H, CH3, CH2CH3; R3 = alkylamine with provisos; R7 = methylamine, ethylamine, n-butylamine, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA mediated deprotection of Boc-amino macrocycle II [Y = Boc] afforded the TFA salt of biphenomycin analog II [Y = H] in 64% yield. In Staphylococcus aureus inhibition assays, 7-examples of compds. I exhibited IC50 vales ranging from 0.06-1.5 μM.
IT 636595-06-7P 636595-18-1P 636595-78-3P
849814-41-1P 849814-42-2P 849814-69-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Biphenomycin-C analogs as antibacterial agents)
RN 636595-06-7 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636595-18-1 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

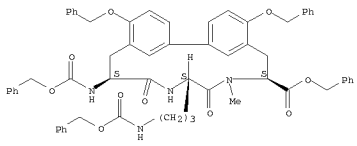
Absolute stereochemistry.



RN 636595-78-3 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

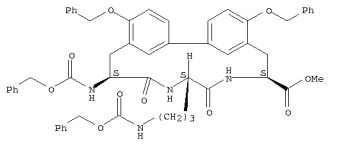
Absolute stereochemistry.

L13 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



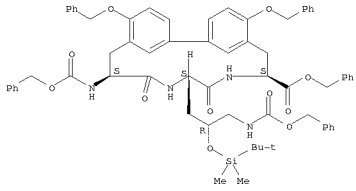
RN 849814-41-1 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849814-42-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

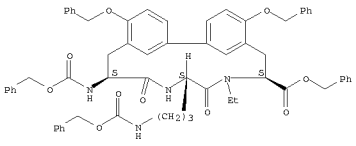
Absolute stereochemistry.



RN 849814-69-3 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-ethyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L13 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1314058 HCAPLUS

DN 144:51905

TI Synthesis of antibacterial biphenyl-containing macrocyclic compounds for use in treatment of bacterial infections in humans or animals

IN Endermann, Rainer; Ehlert, Kerstin; Raddatz, Siegfried; Cancho-Grande, Yolanda; Michels, Martin; Freiberg, Christoph; Schuhmacher, Joachim; Weigand, Stefan

PA Bayer Healthcare A.-G., Germany

SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2

DT Patent

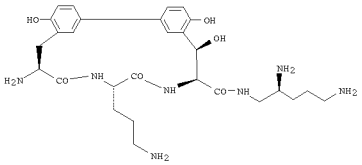
LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2005118613	A2	20051215	2005WO-EP05223	20050513
WO2005118613	A3	20060112		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE102004025731	A1	20051215	DE 2004-102004025731	20040526
PRAI DE 2004-102004025731 A		20040526		

OS CASREACT 144:51905; MARPAT 144:51905

GI



I

AB The invention relates to antibacterial amide macrocycles [e.g., (I.4 HCl)], which are biphenomycin B analogs, and to methods for producing them, to their use in the treatment and/or prophylaxis of diseases and to their use for producing drugs for use in the treatment and/or prophylaxis of diseases, especially bacterial infections. Thus, a biphenyl core was synthesized starting from 5-bromo-2-hydroxybenzoic acid, N-Boc-protected Me aminopropanedioic acid, and 5-iodo-2-(phenylmethoxy)-N-[(phenylmethoxy)carbonyl]-L-phenylalanine 2-(trimethylsilyl)ethyl ester, which was then coupled with N5-Cbz-N2-Boc-L-ornithine to give the open chain intermediate (II). II was then deesterified at the silyl-Et site, activated as the penta-fluorophenyl ester, and cyclized to give an intermediate which was O-deprotected and methyl-deesterified, followed by coupling with tBu-[(4S)-5-amino-4-(Boc-amino)pentyl]carbamate (synthesis given). The resulting product was N-deprotected to give the I hydrochloride salt. In in vitro transcription-translation inhibition tests using S. aureus, I had IC50 values of 0.40 μM; against S. aureus,

L13 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

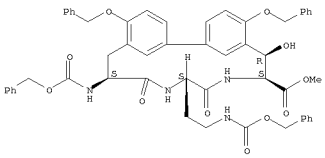
E. faecalis, E. faecium, or S. pneumoniae, I had Minimal Blood Concn. inhibitory values of from 1 to 4 μg/mL.

IT 871095-30-6P 871095-31-7P 871095-32-8P
871095-41-9P 871095-42-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and use of antibacterial biphenyl-containing macrocyclic compds. in treatment of infections in humans or animals)

RN 871095-30-6 HCAPLUS

CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoyl-, methyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv., (3R)-(9CI) (CA INDEX NAME)

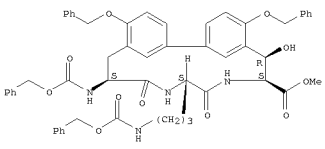
Absolute stereochemistry.



RN 871095-31-7 HCAPLUS

CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv., (3R)-(9CI) (CA INDEX NAME)

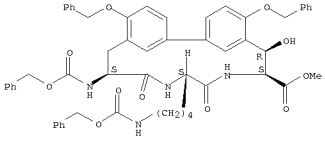
Absolute stereochemistry.



RN 871095-32-8 HCAPLUS

CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-, methyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv., (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

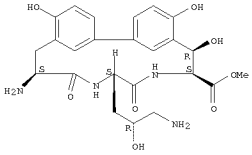


L13 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 871095-41-9 HCAPLUS

CN L-Serine, L-alanyl-(4R)-4-hydroxy-L-ornithyl-, methyl ester, cyclic 13,33-(4,4'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

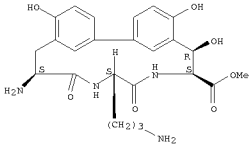


● 2 HCl

RN 871095-42-0 HCAPLUS

CN L-Serine, L-alanyl-L-ornithyl-, methyl ester, cyclic 13,33-(4,4'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

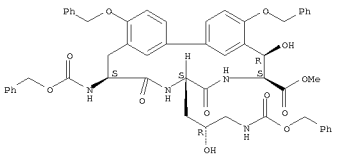
IT 146388-30-9P 871095-51-1P 871095-52-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and use of antibacterial biphenyl-containing macrocyclic compds. in treatment of infections in humans or animals)

RN 146388-30-9 HCAPLUS

CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv., (3R)-(9CI) (CA INDEX NAME)

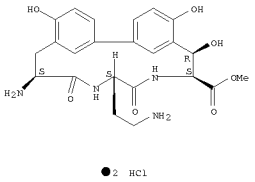
Absolute stereochemistry.

L13 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 871095-51-1 HCAPLUS
CN L-Serine, L-alanyl-(2S)-2,4-diaminobutanoyl-, methyl ester, cyclic 13,33-(4,4'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

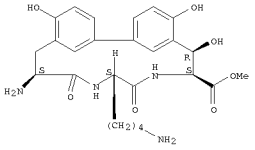
Absolute stereochemistry.



●2 HCl

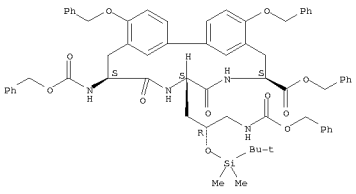
RN 871095-52-2 HCAPLUS
CN L-Serine, L-alanyl-L-lysyl-, methyl ester, cyclic 13,33-(4,4'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

L13 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

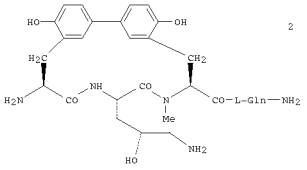


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1154572 HCAPLUS
DN 143:440761
TI Synthesis of antibacterial biphenyl-containing macrocycles for use in treating bacterial infections in humans or animals
IN Endermann, Rainer; Ehlert, Kerstin; Raddatz, Siegfried; Cancho-Grande, Yolanda; Michels, Martin; Schuhmacher, Joachim; Weigand, Stefan
PA Bayer Healthcare AG, Germany
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2005100380	A1	20051027	2005WO-EP03463	20050402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE102004018405	A1	20051103	DE 2004-102004018405	20040416
PRAI DE 2004-102004018405	A	20040416		

OS CASREACT 143:440761; MARPAT 143:440761
GI



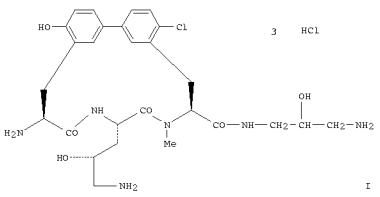
AB Macrocyclic biphenyl-containing oligopeptide amides, e.g. (I), were prepared for use in the prevention or treatment of bacterial infections. Thus, the N-Boc-protected 2-hydroxypropylated biphenyl core free acid (prepared in WO03/106480) was reacted with L-glutamamide hydrochloride, N-deprotected, and converted to the HCl salt to form I in 96% yield. In in vitro inhibition studies using S. aureus 133 translation, I had IC50 of 0.8 μM.
IT 849814-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibacterial biphenyl-containing macrocycles for use in treating or preventing infections)
RN 849814-42-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:570916 HCAPLUS
DN 143:97643
TI Synthesis of biphenyl-containing antibacterial macrocycle for use in the treatment of bacterial infections
IN Endermann, Rainer; Ehlert, Kerstin; Raddatz, Siegfried; Cancho Grande, Yolanda; Michels, Martin; Weigand, Stefan; Adelt, Isabelle; Lampe, Thomas
PA Bayer Healthcare A.-G., Germany
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2005058943	A1	20050630	2004WO-EP13688	20041202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE--10358824	A1	20050721	2003DE-1058824	20031216
CA---2549874	A1	20050630	2004CA-2549874	20041202
EP---1697400	A1	20060906	2004EP-0801192	20041202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP2007537997	T	20071227	2006JP-0544264	20041202
US2007099885	A1	20070503	2006US-0453375	20060615
PRAI 2003DE-1058824	A	20031216		
2004WO-EP13688	W	20041202		

OS MARPAT 143:97643
GI

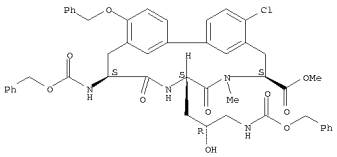


AB Macrocyclic biphenyl-containing oligopeptide amides, e.g., (I), analogs of biphenomycin B, were prepared for use in the treatment and prevention of bacterial infections in humans and animals. The biphenyl-containing diamino acid system was prepared by coupling subunits consisting of orthogonally-protected and substituted phenylalanines (preparation given). These intermediates were then selectively amine-deprotected and coupled with N6-Cbz-N2-Boc-L-ornithine. The resulting product was then acid-activated using penta-fluorophenol, and selectively N2-deprotected, followed by macrocyclization. The remaining acid group was then deprotected and reacted with amines or amino acids, followed by total deprotection, to give the title compds. In in vitro tests using S. aureus 133 protein translation as a measure of inhibition, I had IC50 of 0.3 μM, compared with 1.5 μM for biphenomycin B.
IT 856223-32-0P 856223-33-1P 856223-34-2P
856223-35-3P 856223-36-4P 856223-37-5P
856223-38-6P 856223-39-7P 856223-40-0P

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
856223-41-1P 856223-42-2P 856223-43-3P
856223-44-4P 856223-45-5P 856223-46-6P
856223-47-7P 856223-48-8P 856223-49-9P
856223-50-2P 856224-08-3P 856224-10-7P
856407-85-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of biphenyl-contg. antibacterial macrocycles for use in treatment of bacterial infections)

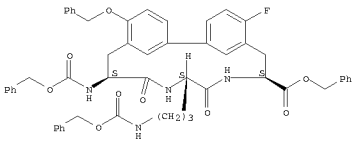
RN 856223-32-0 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-[4'-chloro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856223-33-1 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4'-fluoro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

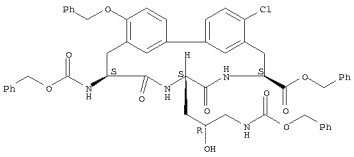
Absolute stereochemistry.



RN 856223-34-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4'-chloro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

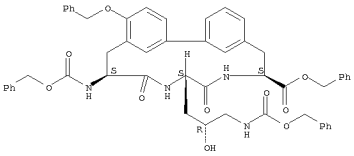
Absolute stereochemistry.

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



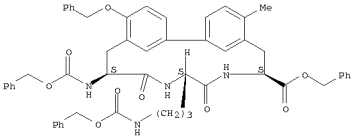
RN 856223-35-3 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856223-36-4 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4'-methyl-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

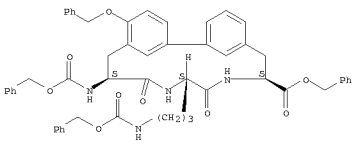
Absolute stereochemistry.



RN 856223-37-5 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

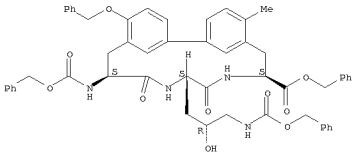
Absolute stereochemistry.

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



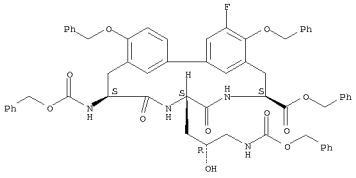
RN 856223-38-6 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4'-methyl-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856223-39-7 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[5'-fluoro-4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

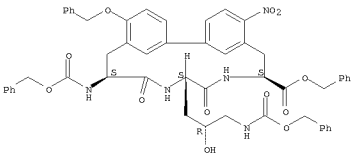
Absolute stereochemistry.



RN 856223-40-0 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4'-nitro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

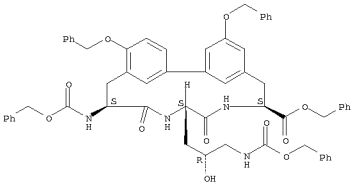
Absolute stereochemistry.

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



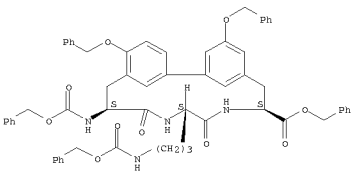
RN 856223-41-1 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,5'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856223-42-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,5'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

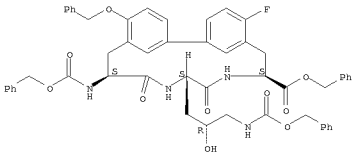
Absolute stereochemistry.



RN 856223-43-3 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4'-fluoro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

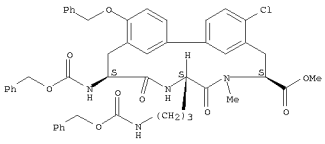
Absolute stereochemistry.

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



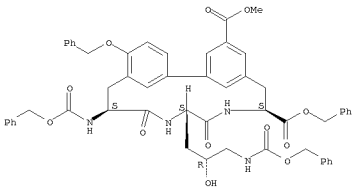
RN 856223-44-4 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-[4'-chloro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856223-45-5 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[5'-(methoxycarbonyl)-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

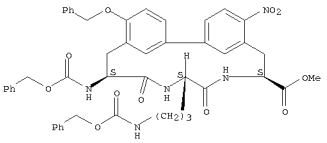
Absolute stereochemistry.



RN 856223-46-6 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4'-nitro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

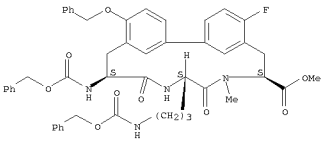
L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



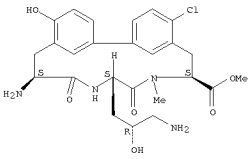
RN 856223-47-7 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-[4'-fluoro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856223-48-8 HCAPLUS
CN L-Alanine, L-alanyl-(4R)-4-hydroxy-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-(4'-chloro-4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

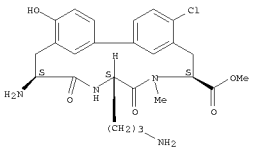


● 2 HBr

RN 856223-49-9 HCAPLUS
CN L-Alanine, L-alanyl-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-(4'-chloro-4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., dihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

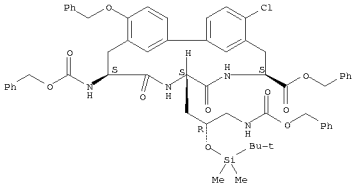
L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HBr

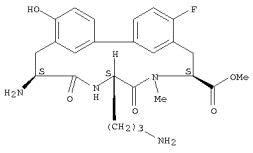
RN 856223-50-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4'-chloro-4-(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856224-08-3 HCAPLUS
CN L-Alanine, L-alanyl-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-(4'-fluoro-4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



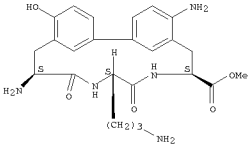
RN 856224-10-7 HCAPLUS
CN L-Alanine, L-alanyl-L-ornithyl-, methyl ester, cyclic 13,33-(4'-amino-4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., triacetate (salt) (9CI) (CA INDEX NAME)

CM 1

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CRN 856224-09-4
CMF C24 H31 N5 O5

Absolute stereochemistry.



CM 2

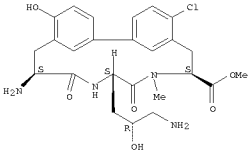
CRN 64-19-7
CMF C2 H4 O2

RN 856407-85-7 HCAPLUS
CN L-Alanine, L-alanyl-(4R)-4-hydroxy-L-ornithyl-N-methyl-, methyl ester, cyclic 13,33-(4'-chloro-4-hydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., monoacetate (ester), dihydrobromide (9CI) (CA INDEX NAME)

CM 1

CRN 856292-47-2
CMF C25 H31 Cl N4 O6

Absolute stereochemistry.



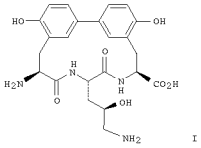
CM 2

CRN 64-19-7
CMF C2 H4 O2

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

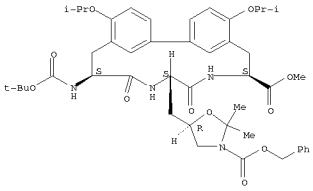
L13 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:485754 HCAPLUS
DN 143:173123
TI Microwave-Assisted Intramolecular Suzuki-Miyaura Reaction to Macrocycle, a Concise Asymmetric Total Synthesis of Biphenomycin B
AU Lepine, Renaud; Zhu, Jieping
CS Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SO Organic Letters (2005), 7(14), 2981-2984
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 143:173123
GI



I

AB A concise and efficient total synthesis of biphenomycin B (I) was accomplished featuring a key microwave-assisted intramol. Suzuki-Miyaura reaction for formation of the 15-membered meta,meta-cyclophane 20.
IT 861099-92-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(microwave-assisted intramol. Suzuki-Miyaura reaction to macrocycle, a concise asym. total synthesis of biphenomycin B)
RN 861099-92-5 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[(5R)-2,2-dimethyl-3-[(phenylmethoxy)carbonyl]-5-oxazolidinyl]-L-alanyl-, methyl ester, cyclic 13,33-[4,4'-bis(1-methylethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L13 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:324179 HCAPLUS
DN 142:411656
TI Synthesis of antibacterial biphenyl-containing macrocycles for use in treating bacterial infections in humans or animals
IN Lampe, Thomas; Adelt, Isabelle; Beyer, Dieter; Brunner, Nina; Endermann, Rainer; Ehlert, Kerstin; Kroll, Hein-Peter; Von Nussbaum, Franz; Raddatz, Siegfried; Rudolph, Joachim; Schiffer, Guido; Schumacher, Andreas; Cancho-Grande, Yolanda; Michels, Martin; Weigand, Stefan
PA Bayer Healthcare A.-G., Germany
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2005033129	A1	20050414	2004WO-EP10605	20040922
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US2005256037	A1	20051117	2004US-0957489	20041001
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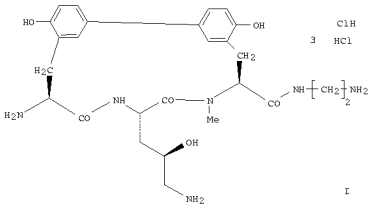
2003DE-1058822	A	20031216		
2004WO-EP10605	W	20040922		

OS MARPAT 142:411656
GI

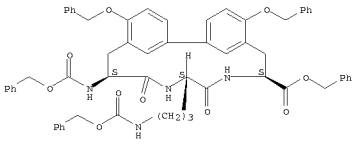


I

AB Macrocyclic biphenyl-containing oligopeptide amides, e.g., (I), analogs of biphenomycin B, were prepared for use in the treatment and prevention of bacterial infections in humans and animals. The biphenyl-linked dipeptide was first prepared, beginning from salicaldehyde, in 12 steps, followed by peptide coupling with an appropriate amino acid and macrocyclization. The resulting intermediate was coupled with a suitable amine-containing reactant to give title compds. as free bases or salts. In in vitro tests against S. aureus strains, including S. aureus 133, I was effective at min. blood concns. of 4 μg/mL. I had IC50 values in transcription-translation tests against S. aureus 133 translation of 0.1 μM.
IT 636595-06-7P 636595-18-1P 636595-78-3P
849814-41-1P 849814-42-2P 849814-69-3P
849814-75-1P 849814-82-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

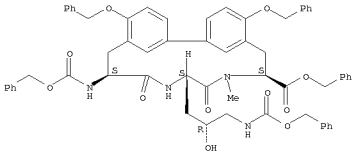
L13 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(prepn. of antibacterial biphenyl-contg. macrocyclic oligopeptides for use in treatment of bacterial infections)
RN 636595-06-7 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



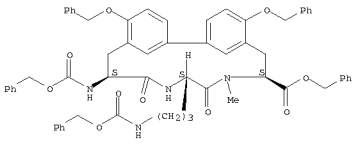
RN 636595-18-1 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636595-78-3 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

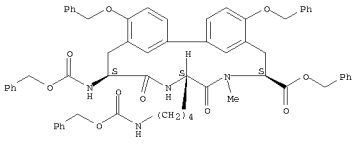
Absolute stereochemistry.



RN 849814-41-1 HCAPLUS

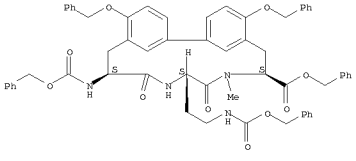
L13 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-N-methyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849814-82-0 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoyl-N-methyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

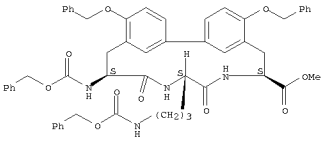
Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

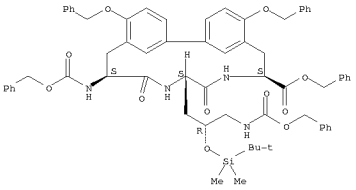
L13 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



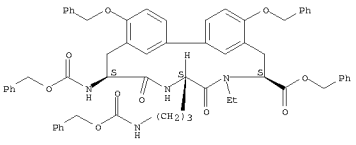
RN 849814-42-2 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849814-69-3 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-ethyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

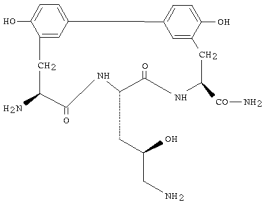


RN 849814-75-1 HCAPLUS

L13 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:1007003 HCAPLUS
DN 140:59934
TI Synthesis of cyclic peptide macrolides for use in the treatment and prevention of bacterial infection
IN Lampe, Thomas; Adelt, Isabelle; Beyer, Dieter; Brunner, Nina; Endermann, Rainer; Ehlert, Kerstin; Kroll, Hein-Peter; Von Nussbaum, Franz; Raddatz, Siegfried; Rudolph, Joachim; Schiffer, Guido; Schumacher, Andreas; Cancho-Grande, Yolanda; Michels, Martin; Weigand, Stefan
PA Bayer Healthcare A.-G., Germany
SO PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2003106480	A1	20031224	2003WO-EP06078	20030610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE--10226921	A1	20031224	2002DE-1026921	20020617
AU2003245928	A1	20031231	2003AU-0245928	20030610
CA---2489454	A1	20041214	2003CA-2489454	20030610
EP---1515983	A1	20050323	2003EP-0738012	20030610
EP---1515983	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR2003011948	A	20050329	2003BR-0011948	20030610
CN---1675236	A	20050928	2003CN-0819214	20030610
JP2006511446	T	20060406	2004JP-0513311	20030610
NZ----537212	A	20060630	2003NZ-0537212	20030610
AT----354585	T	20070315	2003AT-0738012	20030610
ES---2282643	T3	20071016	2003ES-3738012	20030610
MX2004PA12438	A	20050419	2004MX-PA12438	20041209
ZA2004010006	A	20060222	2004ZA-0010006	20041210
NO2005000210	A	20050301	2005NO-0000210	20050113
US2007129288	A1	20070607	2005US-0518600	20051223
PRAI 2002DE-1026921	A	20020617		
2003WO-EP06078	W	20030610		

OS MARPAT 140:59934
GI



I

AB The invention relates to antibacterial amide macrocycles, e.g. (I), to

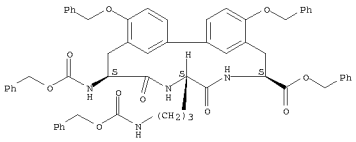
L13 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
methods for the prodn. thereof, and to the use of the same for producing pharmaceuticals for the treatment and/or prophylaxis of illness, esp. bacterial infections. Title compds. were synthesized beginning with salicylaldehyde, which was 5-iodinated, O-protected, reduced to the hydroxymethyl, brominated on the CH2 group, and coupled with di-Et 2-tert-butoxycarbonylaminomalonate, which, after decarboxylation and deesterification, gave the (DL)-N-Boc-protected 2'-benzyloxy-5'-iodo-phenylalanine (II). II was resolved into its pure D- and L-enantiomers; the L-II was protected as the N-Cbz deriv., then esterified with 2-(trimethylsilyl)ethanol, then reacted with (III) (prepd. from II and 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi-1,3,2-dioxaborolane) to give biphenyl compd. (IV). In a sep. reaction, (V) was prepd. from the corresponding L-ornithine tert-Bu ester, the lactone opened and the alc. protected as the tert-butyldimethylsilyl deriv., and reacted with biphenyl IV, to give, after deprotection and amide formation, I as the dihydrochloride salt. In in vitro tests, using S. aureus, E. faecalis, B. catarrhalis, and E. coli strains, I had min. blood concn. effective ranges of 0.2-6.25 μM.

IT 636595-06-7P 636595-18-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenyl-containing cyclic peptide macrolides for use in the treatment and prevention of bacterial infection)

RN 636595-06-7 HCAPLUS

CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

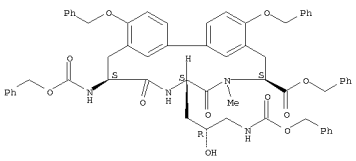
Absolute stereochemistry.



RN 636595-18-1 HCAPLUS

CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



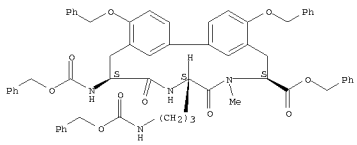
IT 636595-78-3P
RL: SPN (Synthetic preparation); PREP (Preparation)

L13 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(prepn. of biphenyl-contg. cyclic peptide macrolides for use in the treatment and prevention of bacterial infection)

RN 636595-78-3 HCAPLUS

CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-N-methyl-, phenylmethyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:345276 HCAPLUS

DN 139:180323

TI Synthesis of the (S,S,S)-diastereomer of the 15-membered biaryl ring system of RP 66453

AU Krenitsky, Paul J.; Boger, Dale L.

CS Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, San Diego, CA, 92037, USA

SO Tetrahedron Letters (2003), 44(21), 4019-4022
CODEN: TELEAY; ISSN: 0040-4039

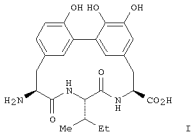
PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 139:180323

GI



I

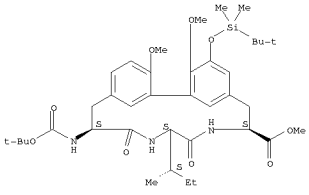
AB This work reports the synthesis of the 15-membered biaryl ring I, which constitutes an appropriately functionalized AB ring system of RP 66453.

IT 579469-87-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of the (S,S,S)-diastereomer of the 15-membered biaryl ring system of RP 66453)

RN 579469-87-7 HCAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-isoleucyl-, methyl ester, cyclic 13,33-[5'-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-6,6'-dimethoxy[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:381070 HCAPLUS

DN 135:137701

TI Studies on the Total Synthesis of RP 66453: Synthesis of Fully Functionalized 15-Membered Biaryl-Containing Macrocycle

AU Boisnard, Sabine; Carbonnelle, Anny-Claude; Zhu, Jieping

CS Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.

SO Organic Letters (2001), 3(13), 2061-2064
CODEN: ORLEF7; ISSN: 1523-7060

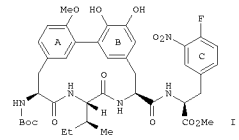
PB American Chemical Society

DT Journal

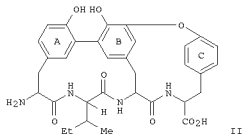
LA English

OS CASREACT 135:137701

GI



I



II

AB Palladium-catalyzed Suzuki cross-coupling, Corey's enantioselective alkylation of glycine template, and macrolactamization are key steps in an efficient synthesis of the 15-membered macrocycle I, the A-B biaryl macrocyclic component of RP-66453 (II). Unfortunately, the cyclization of I by various methods (varying the base, the solvent and the temperature) did not provide the desired macrocycle II.

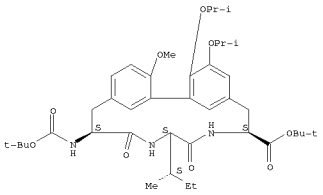
IT 351442-23-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of the fully functionalized biaryl, macrocyclic component of RP-66453)

RN 351442-23-4 HCAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-isoleucyl-, 1,1-dimethylethyl ester, cyclic 13,33-[6-methoxy-5',6'-bis(1-methylethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv. (9CI) (CA INDEX NAME)

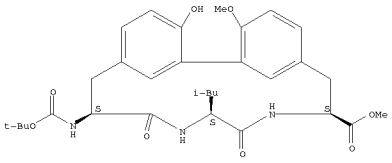
Absolute stereochemistry.

L13 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



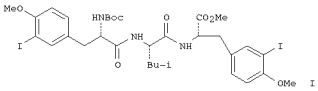
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



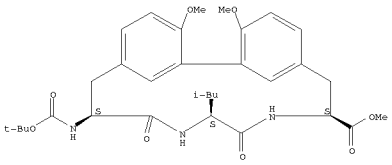
RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:720707 HCAPLUS
DN 134:29690
TI A Novel Synthesis of Biaryl-Containing Macrocycles by a Domino Miyaura Arylboronate Formation: Intramolecular Suzuki Reaction
AU Carbonnelle, Anny-Claude; Zhu, Jieping
CS Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SO Organic Letters (2000), 2(22), 3477-3480
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:29690
GI



AB A novel macrocyclization procedure is developed on the basis of a domino process. Thus, treatment of linear diiodide I under defined conditions gave a 15-membered m,m-cyclophane via aryl-aryl bond formation. Two distinct cross-coupling manifolds, Miyaura's arylboronic ester synthesis and intramol. Suzuki reaction, proceed in an ordered fashion. Concentration is an important factor for the success of this process.
IT 312493-97-3P 312493-99-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of biaryl-containing macrocycles by a domino Miyaura arylboronate formation:intramol. Suzuki reaction)
RN 312493-97-3 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-leucyl-, methyl ester, cyclic 13,33-(6,6'-dimethoxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

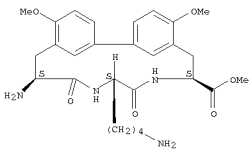


RN 312493-99-5 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-leucyl-, methyl ester, cyclic 13,33-(6-hydroxy-6'-methoxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L13 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:713018 HCAPLUS
DN 123:338989
TI Chiroptical methods in conformational analysis
AU Sandstroem, Jan
CS Chem. Center, Univ. Lund, Lund, Swed.
SO Chirality (1995), 7(4), 181-92
CODEN: CHRLEP; ISSN: 0899-0042
PB Wiley-Liss
DT Journal
LA English
AB CD spectra of flexible organic mols. in solution are normally very sensitive to conformation. In a system composed of two or more chromophores joined by one or more single bonds and with one fixed or strongly preferred conformation, it is often possible to reproduce the CD spectrum by semiempirical calcns. based on interactions between the electronic transitions in the resp. chromophores. In a system containing two or more conformations of appreciable weight, the observed CD spectrum is the superposition of those of the individual conformation and has be analyzed in terms of the individual calculated spectra and the relative energies of the resp. conformations. The effect of temperature variation on conformational equilibrium and on the composite CD spectra are discussed and exemplified.
IT 170744-11-3
RL: PRP (Properties)
(theor. calcns. of CD spectra of in conformational anal.)
RN 170744-11-3 HCAPLUS
CN L-Alanine, L-alanyl-L-lysyl-, methyl ester, cyclic 13,33-(4,4'-dimethoxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

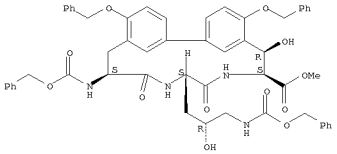


L13 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:169567 HCAPLUS
DN 118:169567
TI Amino acids and peptides. 88. Synthesis of biologically active cyclopeptides. 26. Total synthesis of the biphenomycins. V. Synthesis of biphenomycin A
AU Schmidt, Ulrich; Leitenberger, Volker; Griesser, Helmut; Schmidt, Johannes; Meyer, Regina
CS Inst. Org. Chem. Isotopenforsch., Univ. Stuttgart, Stuttgart, D-7000, Germany
SO Synthesis (1992), (12), 1248-54
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA English
OS CASREACT 118:169567
GI

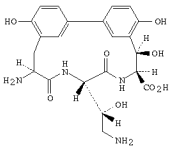
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The total synthesis of biphenomycin A (I) is described. Two of the five stereogenic centers were formed by enantioselective hydrogenation of the corresponding didehydroamino acids using the rhodium-DIPAMP catalyst and the two stereogenic centers of the α-amino-β-hydroxy unit were created by enantioselective hydrogenation using the ruthenium-BINAP catalyst or via a stereoselective aldol condensation, resp. The biphenyl structural element was constructed by a palladium(0)-catalyzed coupling reaction of phenylzinc chloride II (Bn = benzyl) with Ph iodide III to give biphenyl IV. The 15-membered ansa ring was closed to 85% yield by use of linear pentafluorophenyl ester V (Z = PhCH2O2C, Boc = Me3CO2C) in the two phase system chloroform/aqueous sodium hydrogen carbonate.
IT 146388-30-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)
RN 146388-30-9 HCAPLUS
CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4R)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv., (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



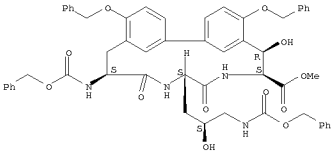
L13 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:551328 HCAPLUS
DN 117:151328
TI Amino acids and peptides. 83. The synthesis of biphenomycin A
AU Schmidt, Ulrich; Leitenberger, Volker; Meyer, Regina; Griesser, Helmut
CS Inst. Org. Chem. Isotopenforsch., Univ. Stuttgart, Stuttgart, 7000/80, Germany
SO Journal of the Chemical Society, Chemical Communications (1992), (13), 951-3
CODEN: JCCCAT; ISSN: 0022-4936
DT Journal
LA English
OS CASREACT 117:151328
GI



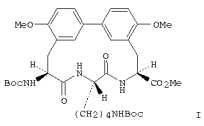
I

AB Biphenomycin A (I), a highly potent antibiotic against Gram-pos., β-lactam-resistant bacteria, which was previously isolated from culture filtrates of Streptomyces griseorubiginosus Number 43708, has now been synthesized.
IT 143164-89-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)
RN 143164-89-0 HCAPLUS
CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-(4S)-4-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-[4,4'-bis(phenylmethoxy)[1,1'-biphenyl]-3,3'-diyl] deriv., [3(3R)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



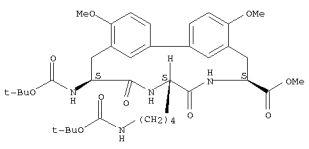
L13 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:656592 HCAPLUS
DN 115:256592
TI A short synthesis of a biphenomycin B analog via a double Heck coupling procedure
AU Carlstroem, Anne Sofie; Frejd, Torbjoern
CS Chem. Cent., Lund Inst. Technol., Lund, S-22100, Swed.
SO Journal of the Chemical Society, Chemical Communications (1991), (17), 1216-17
CODEN: JCCCAT; ISSN: 0022-4936
DT Journal
LA English
GI



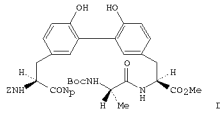
I

AB A biphenomycin B analog I has been prepared using the double Heck coupling of 3,3'-diiodo-4,4'-dimethoxydiphenyl and two orthogonally protected 2-amidoacrylates followed by two peptide bond forming steps for the incorporation of L-lysine as the middle amino acid residue.
IT 136869-46-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as biphenomycin B analog)
RN 136869-46-0 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-, methyl ester, cyclic 13,33-(4,4'-dimethoxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

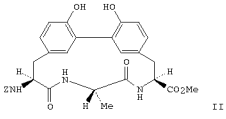
Absolute stereochemistry.



L13 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:123029 HCAPLUS
DN 114:123029
TI Synthesis of analogs of the biphenomycin antibiotics
AU Brown, Allan G.; Edwards, Peter D.
CS Res. Div., SmithKline Beecham Pharm., Betchworth/Surrey, RH3 7AJ, UK
SO Tetrahedron Letters (1990), 31(45), 6581-4
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 114:123029
GI



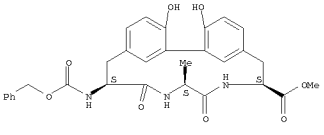
I



II

AB The oxidative coupling of L-tyrosine derivs. with vanadium oxyhalides gave dityrosine intermediates which were cyclized to give analogs of the biphenomycin antibiotics. Thus, the oxidative coupling of Boc-Ala-Tyr-OMe (Boc = Me3CO2C) with Z-Tyr-ONp (Z = PhCH2O2C, Np = C6H4NO2-p) with VOCl3 gave 7% biphenyl derivative I. I was converted into biphenomycin analog II.
IT 132149-69-0P 132149-72-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential saponification and hydrogenolysis of)
RN 132149-69-0 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-L-alanyl-, methyl ester, cyclic 13,33-(6,6'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

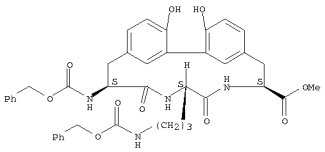
Absolute stereochemistry.



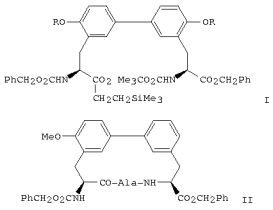
RN 132149-72-5 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-, methyl ester, cyclic 13,33-(6,6'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L13 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:574664 HCAPLUS
DN 111:174664
TI Amino acids and peptides. 72. Cyclopeptides. 17. Synthesis of (S,S)-diisotyrosine and its incorporation into an ansa-tripeptide
AU Schmidt, Ulrich; Meyer, Regina; Leitenberger, Volker; Lieberknecht, Albrecht
CS Inst. Org. Chem. Biochem., Univ. Stuttgart, Stuttgart, D-7000/80, Fed. Rep. Ger.
SO Angewandte Chemie (1989), 101(7), 946-8
CODEN: ANCEAD; ISSN: 0044-8249
DT Journal
LA German
OS CASREACT 111:174664
GI

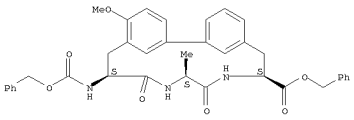


I

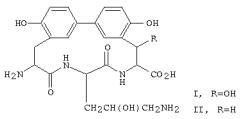
II

AB Diisotyrosines I (R = Me, CH2Ph) were prepared in 7 steps from 2,5-Br(RO)C6H3CHO via olefinations with (dialkoxyphosphino)glycines, asym. homogeneous hydrogenations, and a Pd-catalyzed coupling. A monomethoxy derivative of I (R = Me) was condensed with alanine derivs. by two different routes to give the ansa-tripeptide II.
IT 121125-17-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 121125-17-5 HCAPLUS
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-L-alanyl-, phenylmethyl ester, cyclic 13,33-(4-methoxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



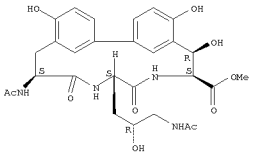
L13 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1986:84995 HCAPLUS
DN 104:84995
OREF 104:13425a,13428a
TI Biphenomycins A and B, novel peptide antibiotics. II. Structural elucidation of biphenomycins A and B
AU Uchida, Itsuo; Shigematsu, Nobuharu; Ezaki, Masami; Hashimoto, Masashi; Aoki, Hatsuo; Imanaka, Hiroshi
CS Explor. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SO Journal of Antibiotics (1985), 38(11), 1462-8
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English
GI



I, R=OH
II, R=H

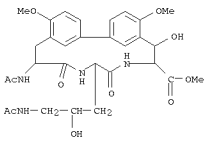
AB The structures of biphenomycins A and B (I and II), novel peptide antibiotics produced by a strain of Streptomyces, were established on the basis of spectroscopic and chemical evidence. They are unique in that they are cyclic peptides containing a biphenyl moiety included in a 15-membered ring and show potent antibacterial activities, especially against gram-pos. bacteria.
IT 95485-51-1P 100217-79-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 95485-51-1 HCAPLUS
CN L-Serine, N-acetyl-L-alanyl-(4R)-N5-acetyl-4-hydroxy-L-ornithyl-, methyl ester, cyclic 13,33-(4,4'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., [3(3R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

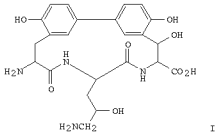


RN 100217-79-6 HCAPLUS
CN Serine, N-acetylalanyl-(4S)-N5-acetyl-4-hydroxy-L-ornithyl-, methyl ester, cyclic 13,33-(4,4'-dimethoxy[1,1'-biphenyl]-3,3'-diyl) deriv. (9CI) (CA INDEX NAME)

L13 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L13 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1985:167162 HCAPLUS
DN 102:167162
OREF 102:26305a,26308a
TI Structure of WS-43708A, a novel cyclic peptide antibiotic
AU Uchida, Itsuo; Ezaki, Masami; Shigematsu, Nobuharu; Hashimoto, Masashi
CS Explor. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SO Journal of Organic Chemistry (1985), 50(8), 1341-2
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 102:167162
GI

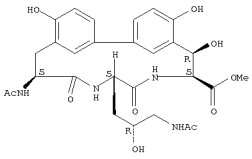


I

AB The structure of WS-43708A, a novel antibacterial antibiotic produced by Streptomyces griseorubiginosus Number 43708, has been established as I on the basis of chemical and 1H and 13C NMR spectroscopic evidence. WS-43708A is unique in that it is a cyclic peptide containing a biphenyl unit, which is rare in nature, and its activity is exceptionally potent especially against G(+) bacteria.

IT 95485-51-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 95485-51-1 HCAPLUS
CN L-Serine, N-acetyl-L-alanyl-(4R)-N5-acetyl-4-hydroxy-L-ornithyl-, methyl ester, cyclic 13,33-(4,4'-dihydroxy[1,1'-biphenyl]-3,3'-diyl) deriv., [3(3R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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